## ABSTRACT OF THE DISCLOSURE

$$\begin{array}{c|c}
R^5 \\
R \downarrow \downarrow \\
R^6 \\
R^7 \\
R^4 \\
R^1
\end{array}$$

$$\begin{array}{c|c}
Ar^2 \\
R^3 \\
R^2 \\
R^2$$

Substituted tetrahydroisoquinolines and related compounds are provided. Such compounds are ligands that may be used to modulate C5a receptor activity in vivo or in vitro, and are particularly useful in the treatment of conditions associated with pathological C5a receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compositions and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies.